

10/27/04

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Patent Office Classifications
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NEWS 10 SEP 01 New pricing for the Save Answers for SciFinder Wizard within
STN Express with Discover!
NEWS 11 SEP 01 New display format, HITSTR, available in WPIDS/WPINDEX/WPIX
NEWS 12 SEP 27 STANDARDS will no longer be available on STN
NEWS 13 SEP 27 SWETSCAN will no longer be available on STN

NEWS EXPRESS JULY 30 CURRENT WINDOWS VERSION IS V7.01, CURRENT
MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
AND CURRENT DISCOVER FILE IS DATED 11 AUGUST 2004
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* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 18:06:11 ON 27 OCT 2004

=> file registry

10/690738

10/27/04

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.21

0.21

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STRUCTURE FILE UPDATES: 26 OCT 2004 HIGHEST RN 769912-90-5
DICTIONARY FILE UPDATES: 26 OCT 2004 HIGHEST RN 769912-90-5

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

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Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
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to the file summary sheet on the web at:
<http://www.cas.org/ONLINE/DBSS/registryss.html>

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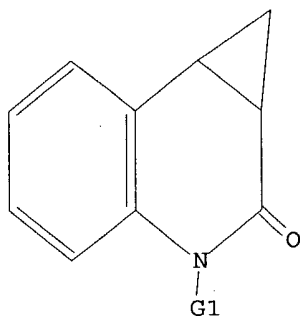
Uploading C:\Stnexp4 corrupted\QUERIES\10690738.str

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



G1 H, Ak

Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 18:06:36 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 7296 TO ITERATE

13.7% PROCESSED 1000 ITERATIONS

0 ANSWERS

10/690738

10/27/04

INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 140800 TO 151040
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 ful
FULL SEARCH INITIATED 18:06:40 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 145959 TO ITERATE

100.0% PROCESSED 145959 ITERATIONS 141 ANSWERS
SEARCH TIME: 00.00.03

L3 141 SEA SSS FUL L1

| | SINCE FILE | TOTAL |
|---------------------|------------|---------|
| | ENTRY | SESSION |
| FULL ESTIMATED COST | 155.42 | 155.63 |

FILE 'CAPLUS' ENTERED AT 18:06:46 ON 27 OCT 2004
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FILE COVERS 1907 - 27 Oct 2004 VOL 141 ISS 18
FILE LAST UPDATED: 26 Oct 2004 (20041026/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

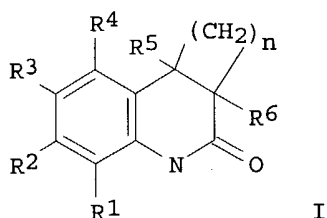
=> s l3
L4 12 L3

=> d abs bib fhitr 1-12

L4 ANSWER 1 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN
GI

10/690738

10/27/04



AB The invention discloses inhibition of viruses, e.g., HIV using quinolones and compds. related to quinolones with formula I [R1, R2, R3, R4 = H, (un)substituted alkyl, cycloalkyl, heteroalkyl, aryl, heteroaryl, NO2, CN and halogen, etc.; R5 = H, (un)substituted alkyl, cycloalkyl, heteroalkyl, aryl, heteroaryl, CN etc.; R6 = H, (un)substituted alkyl, aryl, heteroaryl; R7 = H, (un)substituted alkyl, heteroalkyl; and n = 1 - 4]. The invention further discloses methods for identifying and using agents, including small mol. chemical compns. that inhibit HIV in a cell; as well as to methods of prophylaxis, and therapy related to HIV infection and related disease states such as AIDS. Preparation of compds. of the invention is described.

AN 2004:370956 CAPLUS

DN 140:386005

TI Quinolones with anti-HIV activity, and preparation thereof

IN He, Yun, Ellis, David Archer; Anaclerio, Beth Marie; Kuhen, Kelli L.; Wu, Baogen; Jiang, Tao

PA IRM LLC, Bermuda

SO PCT Int. Appl., 71 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 2004037853 | A2 | 20040506 | WO 2003-US33528 | 20031021 |
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| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 2004152719 | A1 | 20040805 | US 2003-690738 | 20031021 |
| PRAI US 2002-420163P | P | 20021021 | | |
| OS MARPAT 140:386005 | | | | |
| IT 685539-93-9P | | | | |

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

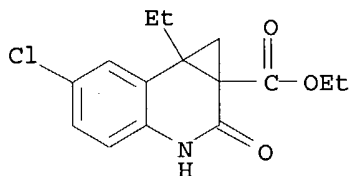
(quinolones with anti-HIV activity, and preparation thereof)

RN 685539-93-9 CAPLUS

CN 1aH-Cyclopropa[c]quinoline-1a-carboxylic acid, 6-chloro-7b-ethyl-1,2,3,7b-tetrahydro-2-oxo-, ethyl ester (9CI) (CA INDEX NAME)

10/690738

10/27/04



L4 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN

AB A composition for modulating cholinergic function in a mammal comprises a nicotinic receptor partial agonist (NRPA) in combination with an anti-emetic/anti-nausea agent and a pharmaceutically acceptable carrier. The NRPA compound and the anti-emetic/anti-nausea agent are present in amts. that render the composition effective modulating cholinergic function or in the treatment of various disorders or conditions selected from inflammatory bowel disease (including but not limited to ulcerative colitis, pyoderma gangrenosum and Crohn's disease), irritable bowel syndrome, spastic dystonia, chronic pain, acute pain, celiac sprue, pouchitis, vasoconstriction, anxiety, panic disorder, depression, bipolar disorder, autism, sleep disorders, jet lag, amyotrophic lateral sclerosis (ALS), cognitive dysfunction, hypertension, bulimia, anorexia, obesity, cardiac arrhythmias, gastric acid hypersecretion, ulcers, pheochromocytoma, progressive supranuclear palsy, chemical dependencies and addictions (e.g., dependencies on, or addictions to nicotine (and/or tobacco products), alc., benzodiazepines, barbiturates, opioids or cocaine), headache, migraine, stroke, traumatic brain injury (TBI), obsessive-compulsive disorder (OCD), psychosis, Huntington's chorea, tardive dyskinesia, hyperkinesia, dyslexia, schizophrenia, multi-infarct dementia, age-related cognitive decline, epilepsy, including petit mal absence epilepsy, senile dementia of the Alzheimer's type (AD), Parkinson's disease (PD), attention deficit hyperactivity disorder (ADHD) and Tourette's Syndrome. The method of using these comps. is also disclosed.

AN 2003:23533 CAPLUS

DN 138:83396

TI Pharmaceutical composition and method of modulating cholinergic function in a mammal

IN Coe, Jotham W.; Sands, Steven B.

PA Pfizer Inc., USA

SO U.S. Pat. Appl. Publ., 23 pp.

CODEN: USXXCO

DT Patent

LA English

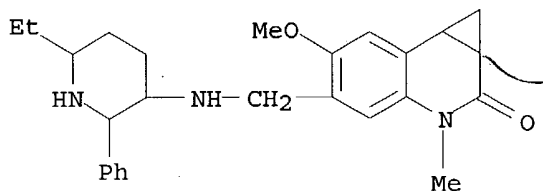
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|-----|--|------|----------|-----------------|----------|
| PI | US 2003008892 | A1 | 20030109 | US 2002-105605 | 20020325 |
| | WO 2003005998 | A2 | 20030123 | WO 2002-IB1767 | 20020521 |
| | WO 2003005998 | A3 | 20030530 | | |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW | | | | |
| RW: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, | | | | |

10/690738

10/27/04

GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA,
GN, GQ, GW, ML, MR, NE, SN, TD, TG
NZ 529607 A 20031219 NZ 2002-529607 20020521
EP 1404320 A2 20040407 EP 2002-727942 20020521
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
US 2004167200 A1 20040826 US 2004-783790 20040220
PRAI US 2001-303957P P 20010709
US 2002-105605 A1 20020325
WO 2002-IB1767 W 20020521
IT 483306-36-1
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(compsn. containing nicotinic receptor partial agonist in combination with
antiemetic for modulating cholinergic function)
RN 483306-36-1 CAPLUS
CN 2H-Cyclopropa[c]quinolin-2-one, 5-[[[6-ethyl-2-phenyl-3-
piperidinyl)amino]methyl]-1,1a,3,7b-tetrahydro-6-methoxy-3-methyl- (9CI)
(CA INDEX NAME)



L4 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN
AB The present invention relates to a method of treating depression or
anxiety in a mammal, including a human, by administering to the mammal a
CNS-penetrant NK-1 receptor antagonist (e.g., a substance P receptor
antagonist) in combination with a 5HT1D receptor antagonist. It also
relates to pharmaceutical comps. containing a pharmaceutically acceptable
carrier, a CNS-penetrant NK-1 receptor antagonist and a 5HT1D receptor
antagonist.
AN 2002:183754 CAPLUS
DN 136:226804
TI Combination, for treating depression and anxiety, containing a 5HT1D
receptor antagonist and a CNS penetrant NK-1 receptor antagonist
IN Schmidt, Christopher Joseph; Sobolov-Jaynes, Susan Beth
PA Pfizer Products Inc., USA
SO Eur. Pat. Appl., 58 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|--|------|----------|-----------------|----------|
| PI | EP 1186318 | A2 | 20020313 | EP 2001-307220 | 20010824 |
| | EP 1186318 | A3 | 20030326 | | |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| | US 2002049211 | A1 | 20020425 | US 2001-867357 | 20010529 |
| | JP 2002121153 | A2 | 20020423 | JP 2001-264226 | 20010831 |
| | BR 2001003913 | A | 20020521 | BR 2001-3913 | 20010906 |
| PRAI | US 2000-230257P | P | 20000906 | | |

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OS MARPAT 136:226804

IT 368832-27-3

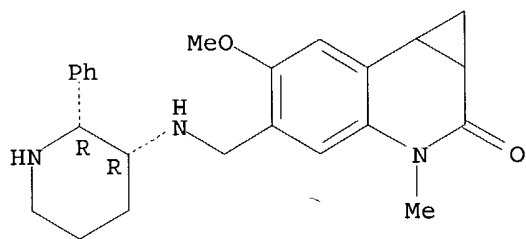
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
(Biological study); USES (Uses)

(combination, for treating depression and anxiety, containing a 5HT1D
receptor antagonist and a CNS penetrant NK-1 receptor antagonist)

RN 368832-27-3 CAPLUS

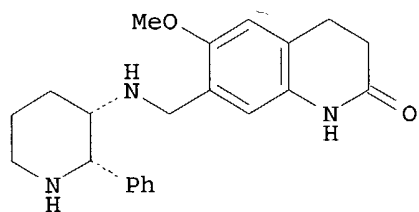
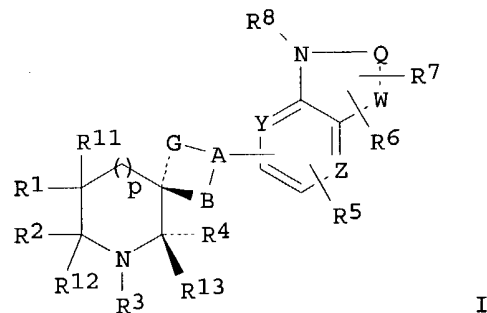
CN 2H-Cyclopropa[c]quinolin-2-one, 1,1a,3,7b-tetrahydro-6-methoxy-3-methyl-5-
[[[(2R,3R)-2-phenyl-3-piperidinyl]amino]methyl]-, rel- (9CI) (CA INDEX
NAME)

Relative stereochemistry.



L4 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN

GI



AB Title compds. I [Q = C:NH, C:CH2, C:S, C:O, SO, SO2; A = CH, CH2,
C(alkyl), CH(alkyl), C(CF3), or CH(CF3) with the proviso that when B is
present, A = CH, C(alkyl), or C(CF3); B = absent, CH2, or ethylene; Y, Z =

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N, CH, provided that both are not N; G = NH(CH₂)_q, S(CH₂)_q, O(CH₂)_q; q = 0-1 with the proviso that when q = 0, G = NH₂, SH, OH; W = 1-3 carbon linking group, including spiro assemblies; p = 0-2; R₃ = H, acyl, carboxy, Ph, heterocyclyl, alkyl, etc.; R₁, R₂, R₁₁₋₁₃ = H, alkyl, etc., or R₁₂₋₁₃ together with the carbon atoms to which they are attached form a 5- or 6-membered heterocyclic ring, etc.; R₄ = Ph, pyridyl, thienyl, etc.; R₅₋₈ = H, alkyl, S(O)1-2-alkyl, S(O)1-2-aryl, alkoxy, halo, Ph, etc.] were prepared Approx. 100 synthetic examples and over 100 precursor preps. were provided. For instance, 4-aminophenol was acylated with 3-chloropropionyl chloride (CH₂Cl₂, H₂O, NaHCO₃, room temperature, 4 h) and the product treated with AlCl₃ at 210°C for 10 min effecting cyclization to the hydroxy quinolone intermediate. The intermediate was O-methylated (acetone, Me₂SO₄, K₂CO₃, room temperature, 16 h) and formylated in the 7 position

(CH₂Cl₂,

AlCl₃, Cl₂CHOMe) to give 7-formyl-6-methoxy-1H-1,2,3,4-tetrahydroquinolin-2-one. Reductive alkylation of the quinolone with (2S,3S)-3-amino-2-phenylpiperidine (a. PhMe, 3Å mol. sieves; b. dichloroethane, NaHB(OAc)₃, room temperature, 16 h) yielded II. Compds. I are NK-1 receptor antagonists, i.e., substance P receptor antagonists. At least one stereoisomer of the example compds. had a binding affinity, as measured by K_i, of at least 600 nM. I are used in the treatment and prevention of a wide variety of central nervous system disorders, inflammatory disorders, cardiovascular disorders, ophthalmic disorders, etc.

AN 2001:762988 CAPLUS

DN 135:331346

TI Synthesis of benzoamide piperidine containing compounds as substance P antagonists

IN Arnold, Eric Platt; Chappie, Thomas Allen; Huang, Jianhua; Humphrey, John Michael; Nagel, Arthur Adam; O'Neill, Brian Thomas; Sobolov-Jaynes, Susan Beth; Vincent, Lawrence Albert

PA Pfizer Products Inc., USA

SO PCT Int. Appl., 209 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

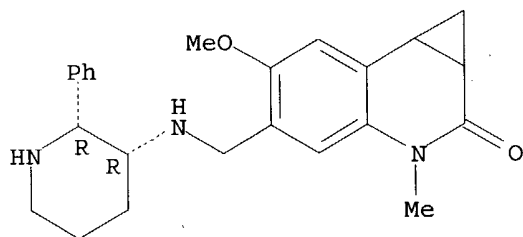
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| PI | WO 2001077100 | A2 | 20011018 | WO 2001-IB629 | 20010406 |
| | WO 2001077100 | A3 | 20020307 | | |
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| | US 2003087925 | A1 | 20030508 | US 2001-811218 | 20010316 |
| | EP 1272484 | A2 | 20030108 | EP 2001-919702 | 20010406 |
| | R: | | | | |
| | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| | BR 2001009936 | A | 20030506 | BR 2001-9936 | 20010406 |
| | JP 2004501072 | T2 | 20040115 | JP 2001-575573 | 20010406 |
| | EE 200200588 | A | 20040415 | EE 2002-588 | 20010406 |
| | NZ 521346 | A | 20040730 | NZ 2001-521346 | 20010406 |
| | BG 107135 | A | 20030630 | BG 2002-107135 | 20020923 |
| | ZA 2002008072 | A | 20031008 | ZA 2002-8072 | 20021008 |

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NO 2002004874 A 20021118 NO 2002-4874 20021009,
PRAI US 2000-195922P P 20000410
US 2000-212922P P 20000620
WO 2001-IB629 W 20010406
OS MARPAT 135:331346
IT 368832-27-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; synthesis of benzoamide piperidine containing compds. as substance P antagonists)
RN 368832-27-3 CAPLUS
CN 2H-Cyclopropa[c]quinolin-2-one, 1,1a,3,7b-tetrahydro-6-methoxy-3-methyl-5-[[[(2R,3R)-2-phenyl-3-piperidinyl]amino]methyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L4 ANSWER 5 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Bicyclic and pentacyclic lactams I (R = Ph, 1-naphthyl; n = 1, 2, 7) and II (n = 1, 2) were prepared by thermal cyclization of arylmorpholinossuccinimidebicycloalkanecarboxamides III (R = Ph, 1-naphthyl; n = 1, 2, 7) or arylchloromorpholinocycloalkenecarboxamides IV (R = 1-naphthyl; n = 1, 2), resp. III were obtained by treating IV (R = Ph, 1-naphthyl, n = 1, 2, 7) with succinimide and EtN(CHMe₂)₂. IV were obtained from enamine V (n = 1, 2, 7) via acylation with RNCO and then chlorination with N-chlorosuccinimide. The structure of III (R = Ph, n = 7) was confirmed by x-ray crystal anal.

AN 1990:35776 CAPLUS

DN 112:35776

TI Functionalized chloro enamines in aminocyclopropane synthesis. I.

Bicyclic and pentacyclic lactams from carbamoylated chloro enamines

AU Altmeier, Peter; Vilsmaier, Elmar; Wolmershaeuser, Gotthelf

CS Fachbereich Chem., Univ. Kaiserslautern, Kaiserslautern, D-6750, Fed. Rep. Ger.

SO Tetrahedron (1989), 45(10), 3189-202

CODEN: TETRAB; ISSN: 0040-4020

DT Journal

LA English

OS CASREACT 112:35776

10/690738

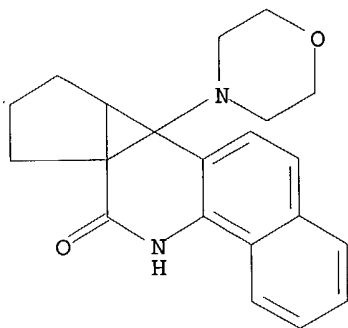
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IT 124570-78-1P

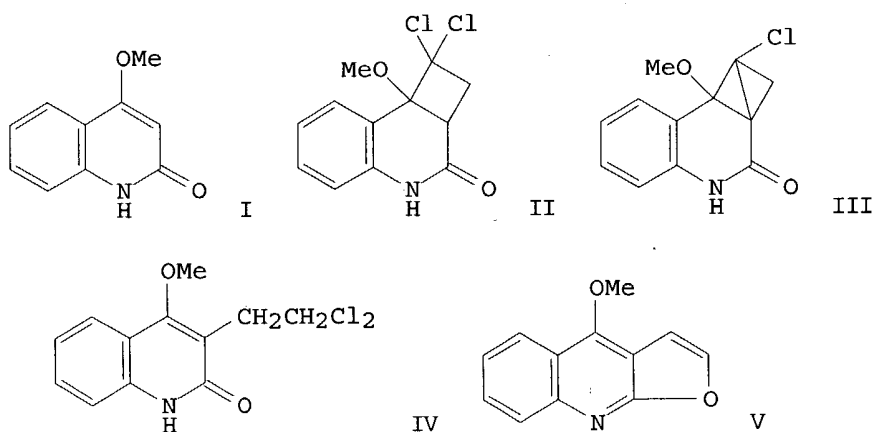
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 124570-78-1 CAPLUS

CN 11H-Benzo[h]cyclopenta[1,3]cyclopropa[1,2-c]quinolin-11-one,
1,2,3,3a,3b,10-hexahydro-3b-(4-morpholinyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 6 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN
GI



AB A method of introducing the Cl_2CHCH_2 group at the 3-position of 2-quinolones is described. The method from 4-substituted 2-quinolones consists of 1) photoaddn. of $\text{Cl}_2\text{C}:\text{CH}_2$ to quinolones, e.g., I, 2) base treatment of the cross adduct, e.g., II, giving a bicyclobutane, e.g., III, and 3) chlorination and ring cleavage of the latter with HCl to give the final product, e.g., IV, with HCl. Treating IV with K_2CO_3 gave 75% dictamnine (V).

AN 1988:6240 CAPLUS

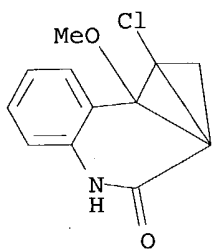
DN 108:6240

TI Cycloadditions in synthesis. XXXIV. A new method for introducing the 2,2-dichloroethyl group at the 3-position of the 2-quinoline system and the synthesis of dictamnine

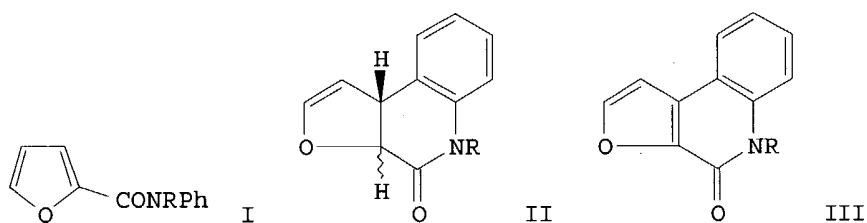
10/690738

10/27/04

AU Sato, Masayuki; Kawakami, Katsuhiro; Kaneko, Chikara
CS Pharm. Inst., Tohoku Univ., Sendai, 980, Japan
SO Chemical & Pharmaceutical Bulletin (1987), 35(3), 1319-21
CODEN: CPBTAL; ISSN: 0009-2363
DT Journal
LA English
OS CASREACT 108:6240
IT **111736-14-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation and ring cleavage-chlorination of)
RN 111736-14-2 CAPLUS
CN 2H-Cyclopropa[1,3]cyclopropa[1,2-c]quinolin-2-one, 7c-chloro-1,3,7b,7c-
tetrahydro-7b-methoxy- (9CI) (CA INDEX NAME)



L4 ANSWER 7 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN
GI



AB The photochem. transformations of the title compds. I (R = H, Me) in protic and aprotic solvents is reported. Irradiation of I in both protic and aprotic solvents gave mixts. of 3 to 6 cyclic products. Shorter reaction times gave more products with higher yields of the primary products, cis- and trans-furoquinolinones II (R = H, Me). Longer reaction times gave fewer products with higher yields of secondary products, e.g., furoquinolinones III. Unusually large vicinal coupling consts. (18-19 Hz) in trans-II (R = H, Me) were shown by x-ray anal. on trans-II (R = Me) to be due mainly to the presence of unusually short C-C single bonds.

AN 1987:554263 CAPLUS
DN 107:154263
TI Photochemistry of the amide system: furancarboxanilide
AU Bates, Robert B.; Kane, Vinayak V.; Martin, Arnold R.; Mujumdar, Ratnakar B.; Ortega, Richard; Hatanaka, Yasumaru; Kanaoka, Yuichi; Sannohe, Kunio
CS Dep. Chem., Univ. Arizona, Tucson, AZ, 85721, USA
SO Journal of Organic Chemistry (1987), 52(14), 3178-80

10/690738

10/27/04

CODEN: JOCEAH; ISSN: 0022-3263

DT Journal

LA English

OS CASREACT 107:154263

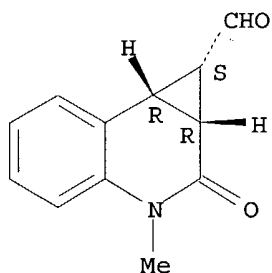
IT 67735-55-1P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

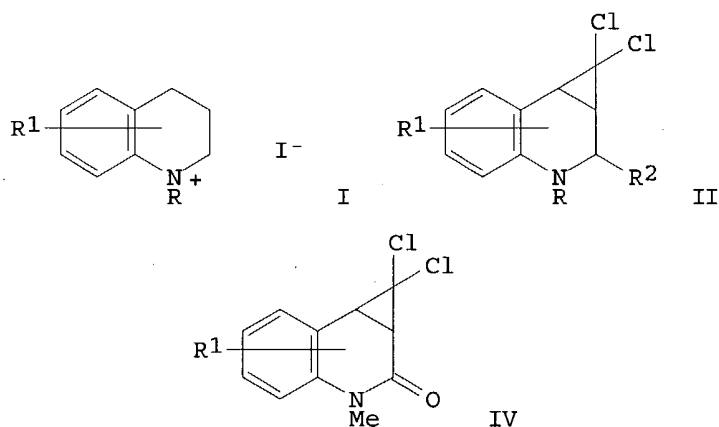
RN 67735-55-1 CAPLUS

CN 1H-Cyclopropa[c]quinoline-1-carboxaldehyde, 1a,2,3,7b-tetrahydro-3-methyl-
2-oxo-, (1 α ,1 β ,7 β) - (9CI) (CA INDEX NAME)

Relative stereochemistry.



L4 ANSWER 8 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN
GI



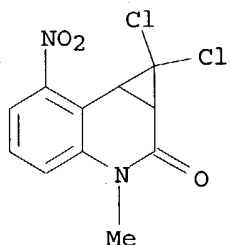
AB Treatment of quinolinium salts I (R = Me, Et; R1 = H, Me, MeO) with dichlorocarbene gave the cyclopropaquinolines II (R2 = CCl3) in 72.4-89.7% yields. The dichlorocarbene was generated by treating CHCl3 with 50% NaOH containing PhN+Me3Cl-. Treatment of II (R = Me, Et; R1 = H; R2 = CCl3) (III) with LiAlH4 in THF gave the corresponding II (R2 = CHCl2), and alcoholysis of III in MeOH containing concentrated HCl gave the corresponding II (R2 = CO2Me).

Treatment of I (R = Me; R1 = 5-NO2, 6-NO2) with dichlorocarbene gave mixts. of II (R2 = H) and quinolinones IV.

10/690738

10/27/04

AN 1979:557567 CAPLUS
DN 91:157567
TI Studies on nitrogen-containing heterocyclic compounds. XXXIX. 2 Step
syntheses of cyclopropa[c]quinoline derivatives from quinoline
derivatives, via quaternary quinolinium salts
AU Hamada, Yoshiki; Sugiura, Michihara
CS Fac. Pharm., Meijo Univ., Nagoya, 468, Japan
SO Yakugaku Zasshi (1979), 99(5), 493-9
CODEN: YKKZAJ; ISSN: 0031-6903
DT Journal
LA Japanese
OS CASREACT 91:157567
IT 71636-16-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 71636-16-3 CAPLUS
CN 2H-Cyclopropa[c]quinolin-2-one, 1,1-dichloro-1,1a,3,7b-tetrahydro-3-methyl-
7-nitro- (9CI) (CA INDEX NAME)

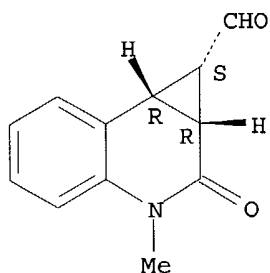


L4 ANSWER 9 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN
GI For diagram(s), see printed CA Issue.
AB The photocyclization products of acylanilides I, II, III, and IV depended
on the nature of the heterocycle and on R. I (R = H) gave V under
oxidative conditions and a mixture of VI and VII under nonoxidative
conditions. The reactions involved the cyclization of the excited anilide
to a common intermediate which gave the oxidized and nonoxidized products.
AN 1978:562745 CAPLUS
DN 89:162745
TI Photocyclization of heterocyclic acylanilides
AU Ninomiya, Ichiya; Kiguchi, Toshiko; Naito, Takeaki
CS Kobe Women's Coll. Pharm., Kobe, Japan
SO Heterocycles (1978), 9(8), 1023-9
CODEN: HTCYAM; ISSN: 0385-5414
DT Journal
LA English
OS CASREACT 89:162745
IT 67735-55-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 67735-55-1 CAPLUS
CN 1H-Cyclopropa[c]quinoline-1-carboxaldehyde, 1a,2,3,7b-tetrahydro-3-methyl-
2-oxo-, (1a,1aβ,7bβ)- (9CI) (CA INDEX NAME)

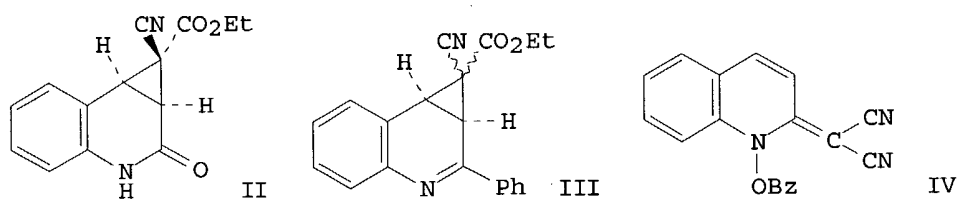
Relative stereochemistry.

10/690738

10/27/04



L4 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN
GI



AB The reaction of 2-chloroquinoline N-oxide (I) and NCCH₂CO₂Et in the presence of BzCl and Et₃N gave II in 78% yield. The reaction of 2-phenylquinoline N-oxide gave III. The reaction of I and CH₂(CN)₂ gave IV.

AN 1978:152385 CAPLUS

DN 88:152385

TI A novel formation of cyclopropa[c]quinolines from some 2-substituted quinoline N-oxides

AU Saeki, Seitaro; Honda, Haruyoshi; Kaku, Yoshio; Funakoshi, Kazuhisa; Hamana, Masatomo

CS Fac. Pharm. Sci., Kyushu Univ., Fukuoka, Japan

SO Heterocycles (1977), 7(2), 801-6

CODEN: HTCYAM; ISSN: 0385-5414

DT Journal

LA English

IT 66223-44-7P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

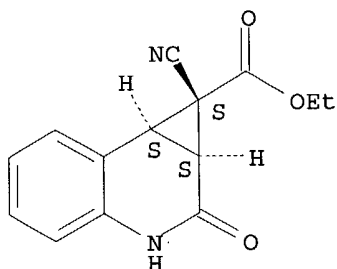
RN 66223-44-7 CAPLUS

CN 1H-Cyclopropa[c]quinoline-1-carboxylic acid, 1-cyano-1a,2,3,7b-tetrahydro-2-oxo-, ethyl ester, (1α,1aα,7bα)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

10/690738

10/27/04



L4 ANSWER 11 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN

GI For diagram(s), see printed CA Issue.

AB The title compns. are sedatives and muscle relaxants. Particularly claimed as active ingredients are 1a,7b-dihydro-3-methyl-1H-cyclopropa[c]quinolin-2-one and 1a,7b-dihydro-3-methyl-6-trifluoromethyl-1H-cyclopropa[c]quinolin-2-one. These compds. are prepared from the corresponding quinoline by reaction with Me3S+(O) I- in the presence of a base. Thus, 11.85 g. of a 53.4% suspension of NaH in mineral oil was added by portions to a stirred suspension of 58 g. Me3S+(O) I- in Me2SO under N. After 1 hr., 10.6 g. N-methylcarbostyryl in Me2SO was added, the mixture stirred at ambient temperature for 30 min. and then at 60-70° for 2.5 hrs., let stand overnight, poured onto ice and the supernatant layer extracted with ether or CH2Cl2. The extract was dried, filtered, and concentrated,

dissolved in MeCN and this solution was extracted with petroleum ether. The solution in MeCN was concentrated, dissolved in CH2Cl2, rinsed with H2O, dried, filtered, and concentrated to yield 1a,7b-dihydro-3-methyl-1H-cyclopropa[c]quinoline-2-one (I, R = H) (II), b0.005 98-104°. Similarly prepared was I (R = CF3). II at 50 mg./kg. in mice was 28.6% effective in suppressing anxiety.

AN 1967:520195 CAPLUS

DN 67:120195

TI 1a,7b-Dihydro-1H-cyclopropa[c]quinoline compositions

IN Loev, Bernard

PA Smith Kline and French Laboratories

SO Fr. M., 6 pp.

CODEN: FMXXAJ

DT Patent

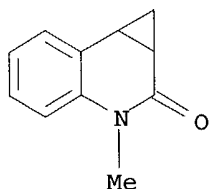
LA French

FAN.CNT 1

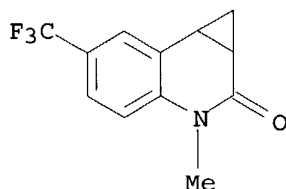
| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|--|------|----------|-----------------|------------|
| PI | FR 4390 | | 19661010 | | |
| PRAI | US | | 19630429 | | |
| IT | 1011-79-6 | | | | |
| | RL: BIOL (Biological study) | | | | |
| | (as muscle relaxant and sedative) | | | | |
| RN | 1011-79-6 CAPLUS | | | | |
| CN | 2H-Cyclopropa[c]quinolin-2-one, 1,1a,3,7b-tetrahydro-3-methyl- | | | | (7CI, 8CI) |
| | (CA INDEX NAME) | | | | |

10/690738

10/27/04



L4 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN
GI For diagram(s), see printed CA Issue.
AB I (X = H, R = Me) in dry Me₂SO was treated with a 6-fold excess of dimethylsulfoxonium methylide (Corey and Chaykovsky, CA 57, 650h), the mixture hydrolyzed, and the oil distilled to give 43% II (X = H, R = Me), b_{0.005} 100-4°, n_D 1.6100. Similarly prepared were II (X, R, m.p., and % yield given): 6-CF₃, Me, 82.5-4°, 78; 6-CF₃, Et, 60.5-2°, 56; 7-CF₃, Me, 50°, 66. All compds. showed NMR spectral features attributed to the cyclopropane ring. Analogous reactions with N-methyl-4-quinolone and 1-methyl-2-quinoxalinone failed.
AN 1965:2986 CAPLUS
DN 62:2986
OREF 62:519c-e
TI 1-Methyl-3,4-methylene-3,4-dihydroquinolin-2-one(s). Derivatives of a new ring system, the 1H-cyclopropa[c]quinolines
AU Loev, B.; Kormendy, Minerva F.; Snader, K. M.
CS Smith Kline & French Labs., Philadelphia, PA
SO Chemistry & Industry (London, United Kingdom) (1964), (41), 1710
CODEN: CHINAG; ISSN: 0009-3068
DT Journal
LA Unavailable
IT 1023-31-0, 2H-Cyclopropa[o]quinolin-2-one, 1,1a,3,7b-tetrahydro-3-methyl-6-(trifluoromethyl)-
(preparation of)
RN 1023-31-0 CAPLUS
CN 2H-Cyclopropa[c]quinolin-2-one, 1,1a,3,7b-tetrahydro-3-methyl-6-(trifluoromethyl)- (7CI, 8CI) (CA INDEX NAME)



=> FIL STNGUIDE
COST IN U.S. DOLLARS
FULL ESTIMATED COST
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)
CA SUBSCRIBER PRICE

| SINCE FILE ENTRY | TOTAL SESSION |
|------------------|---------------|
| 58.00 | 213.63 |
| SINCE FILE ENTRY | TOTAL SESSION |
| -8.40 | -8.40 |

10/690738

10/27/04

FILE 'STNGUIDE' ENTERED AT 18:08:04 ON 27 OCT 2004
USE IS SUBJECT TO THE TERMS OF YOUR CUSTOMER AGREEMENT
COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY, JAPAN SCIENCE
AND TECHNOLOGY CORPORATION, AND FACHINFORMATIONSZENTRUM KARLSRUHE

FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Oct 22, 2004 (20041022/UP).

10/27/04

=> s 13

L5 5 L3

=> d abs bib fhitstr 1-5

L5 ANSWER 1 OF 5 USPATFULL on STN

AB A pharmaceutical composition and method of modulating cholinergic function in a mammal comprising administration of a NRPA compound or a pharmaceutically acceptable salt thereof; and an anti-emetic/anti-nausea agent or a pharmaceutically acceptable salt thereof; and a pharmaceutically acceptable carrier. The NRPA compound and the anti-emetic/anti-nausea agent are present in amounts that render the composition effective modulating cholinergic function or in the treatment of a disorder or condition selected from inflammatory bowel disease (including but not limited to ulcerative colitis, pyoderma gangrenosum and Crohn's disease), irritable bowel syndrome, spastic dystonia, chronic pain, acute pain, celiac sprue, pouchitis, vasoconstriction, anxiety, panic disorder, depression, bipolar disorder, autism, sleep disorders, jet lag, amyotrophic lateral sclerosis (ALS), cognitive dysfunction, hypertension, bulimia, anorexia, obesity, cardiac arrhythmias, gastric acid hypersecretion, ulcers, pheochromocytoma, progressive supranuclear palsy, chemical dependencies and addictions (e.g., dependencies on, or addictions to nicotine (and/or tobacco products), alcohol, benzodiazepines, barbiturates, opioids or cocaine), headache, migraine, stroke, traumatic brain injury (TBI), obsessive-compulsive disorder (OCD), psychosis, Huntington's chorea, tardive dyskinesia, hyperkinesia, dyslexia, schizophrenia, multi-infarct dementia, age-related cognitive decline, epilepsy, including petit mal absence epilepsy, senile dementia of the Alzheimer's type (AD), Parkinson's disease (PD), attention deficit hyperactivity disorder (ADHD) and Tourette's Syndrome. The method of using these compositions is also disclosed.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AN 2004:216091 USPATFULL

TI Pharmaceutical composition and method of modulating cholinergic function in a mammal

IN Coe, Jotham W., Niantic, CT, UNITED STATES

Sands, Steven B., Stonington, CT, UNITED STATES

PA Pfizer Inc. (U.S. corporation)

PI US 2004167200 A1 20040826

AI US 2004-783790 A1 20040220 (10)

RLI Continuation of Ser. No. US 2002-105606, filed on 25 Mar 2002, GRANTED, Pat. No. US 6672101

DT Utility

FS APPLICATION

LREP PFIZER INC, 150 EAST 42ND STREET, 5TH FLOOR - STOP 49, NEW YORK, NY, 10017-5612

CLMN Number of Claims: 13

ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 1975

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 483306-36-1

(compsns. containing nicotinic receptor partial agonist in combination with antiemetic for modulating cholinergic function)

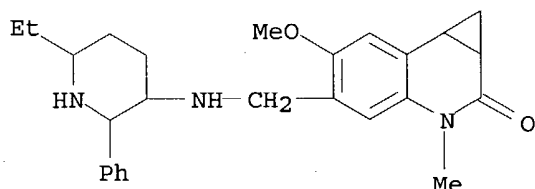
RN 483306-36-1 USPATFULL

CN 2H-Cyclopropa[c]quinolin-2-one, 5-[[6-ethyl-2-phenyl-3-

10/690738

10/27/04

piperidinyl)amino]methyl]-1,1a,3,7b-tetrahydro-6-methoxy-3-methyl- (9CI)
(CA INDEX NAME)



L5 ANSWER 2 OF 5 USPATFULL on STN

AB The present invention relates to inhibition of viruses, e.g., HIV using quinolones and compounds related to quinolones. The invention further relates to methods for identifying and using agents, including small molecule chemical compositions that inhibit HIV in a cell; as well as to methods of prophylaxis, and therapy related to HIV infection and related disease states such as AIDS.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AN 2004:197413 USPATFULL

TI Quinolones with anti-HIV activity

IN He, Yun, San Diego, CA, UNITED STATES

Ellis, David Archer, San Diego, CA, UNITED STATES

Anaclerio, Beth Marie, San Diego, CA, UNITED STATES

Kuhen, Kelli L., Carlsbad, CA, UNITED STATES

Wu, Baogen, San Diego, CA, UNITED STATES

Jiang, Tao, San Diego, CA, UNITED STATES

PA IRM LLC, a Delaware LLC, Hamilton, HM LX, BERMUDA (U.S. corporation)

PI US 2004152719 A1 20040805

AI US 2003-690738 A1 20031021 (10)

PRAI US 2002-420163P 20021021 (60)

DT Utility

FS APPLICATION

LREP TOWNSEND AND TOWNSEND AND CREW, LLP, TWO EMBARCADERO CENTER, EIGHTH FLOOR, SAN FRANCISCO, CA, 94111-3834

CLMN Number of Claims: 17

ECL Exemplary Claim: 1

DRWN 14 Drawing Page(s)

LN.CNT 2027

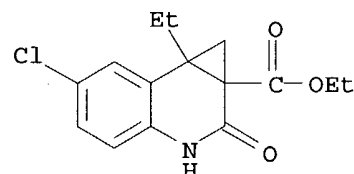
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 685539-93-9P

(quinolones with anti-HIV activity, and preparation thereof)

RN 685539-93-9 USPATFULL

CN 1aH-Cyclopropa[c]quinoline-1a-carboxylic acid, 6-chloro-7b-ethyl-1,2,3,7b-tetrahydro-2-oxo-, ethyl ester (9CI) (CA INDEX NAME)



10/690738

10/27/04

L5 ANSWER 3 OF 5 USPATFULL on STN

AB The present invention relates to certain benzoamide piperidine containing compounds and related compounds that exhibit activity as NK-1 receptor antagonists, (e.g., substance P receptor antagonists), to pharmaceutical compositions containing them, and to their use in the treatment and prevention of central nervous system disorders, inflammatory disorders, cardiovascular disorders, ophthalmic disorders, gastrointestinal disorders, disorders caused by helicobacter pylori, disorders of the immune system, urinary incontinence, pain, migraine, emesis, angiogenesis and other disorders.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AN 2003:127710 USPATFULL

TI Benzoamide piperidine containing compounds and related compounds

IN O'Neill, Brian Thomas, Old Saybrook, CT, UNITED STATES

Nagel, Arthur Adam, Gales Ferry, CT, UNITED STATES

Humphrey, John Michael, Mystic, CT, UNITED STATES

Sobolov-Jaynes, Susan Beth, Ivoryton, CT, UNITED STATES

Chappie, Thomas Allen, Old Lyme, CT, UNITED STATES

Vincent, Lawrence Albert, Moosup, CT, UNITED STATES

Arnold, Eric Platt, Hebron, CT, UNITED STATES

Huang, Jianhua, Waterford, CT, UNITED STATES

PI US 2003087925 A1 20030508

AI US 2001-811218 A1 20010316 (9)

PRAI US 2000-195922P 20000410 (60)

US 2000-212922P 20000620 (60)

DT Utility

FS APPLICATION

LREP PFIZER INC, 150 EAST 42ND STREET, 5TH FLOOR - STOP 49, NEW YORK, NY, 10017-5612

CLMN Number of Claims: 79

ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 8586

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

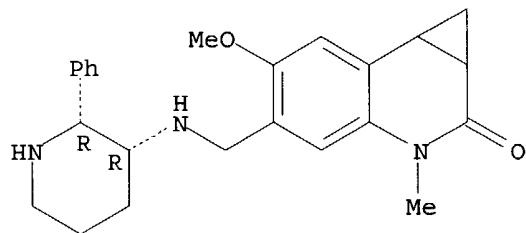
IT 368832-27-3P

(drug candidate; synthesis of benzoamide piperidine containing compds. as substance P antagonists)

RN 368832-27-3 USPATFULL

CN 2H-Cyclopropa[c]quinolin-2-one, 1,1a,3,7b-tetrahydro-6-methoxy-3-methyl-5-[[[(2R,3R)-2-phenyl-3-piperidiny]amino]methyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L5 ANSWER 4 OF 5 USPATFULL on STN

10/690738

10/27/04

AB A pharmaceutical composition and method of modulating cholinergic function in a mammal comprising administration of a NRPA compound or a pharmaceutically acceptable salt thereof; and an anti-emetic/anti-nausea agent or a pharmaceutically acceptable salt thereof; and a pharmaceutically acceptable carrier. The NRPA compound and the anti-emetic/anti-nausea agent are present in amounts that render the composition effective modulating cholinergic function or in the treatment of a disorder or condition selected from inflammatory bowel disease (including but not limited to ulcerative colitis, pyoderma gangrenosum and Crohn's disease), irritable bowel syndrome, spastic dystonia, chronic pain, acute pain, celiac sprue, pouchitis, vasoconstriction, anxiety, panic disorder, depression, bipolar disorder, autism, sleep disorders, jet lag, amyotrophic lateral sclerosis (ALS), cognitive dysfunction, hypertension, bulimia, anorexia, obesity, cardiac arrhythmias, gastric acid hypersecretion, ulcers, pheochromocytoma, progressive supranuclear palsy, chemical dependencies and addictions (e.g., dependencies on, or addictions to nicotine (and/or tobacco products), alcohol, benzodiazepines, barbiturates, opioids or cocaine), headache, migraine, stroke, traumatic brain injury (TBI), obsessive-compulsive disorder (OCD), psychosis, Huntington's chorea, tardive dyskinesia, hyperkinesia, dyslexia, schizophrenia, multi-infarct dementia, age-related cognitive decline, epilepsy, including petit mal absence epilepsy, senile dementia of the Alzheimer's type (AD), Parkinson's disease (PD), attention deficit hyperactivity disorder (ADHD) and Tourette's Syndrome. The method of using these compositions is also disclosed.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

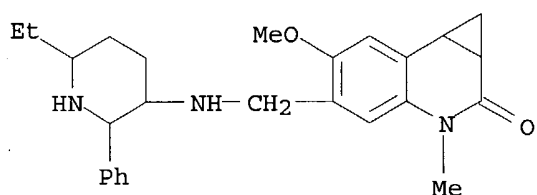
AN 2003:11188 USPATFULL
TI Pharmaceutical composition and method of modulating cholinergic function in a mammal
IN Coe, Jotham W., Niantic, CT, UNITED STATES
Sands, Steven B., Stonington, CT, UNITED STATES
PA Pfizer Inc. (U.S. corporation)
PI US 2003008892 A1 20030109
AI US 2002-105605 A1 20020325 (10)
PRAI US 2001-303957P 20010709 (60)
DT Utility
FS APPLICATION
LREP PFIZER INC, 150 EAST 42ND STREET, 5TH FLOOR - STOP 49, NEW YORK, NY, 10017-5612
CLMN Number of Claims: 13
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 1977

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 483306-36-1
(compsn. containing nicotinic receptor partial agonist in combination with antiemetic for modulating cholinergic function)
RN 483306-36-1 USPATFULL
CN 2H-Cyclopropa[c]quinolin-2-one, 5-[[[6-ethyl-2-phenyl-3-piperidinyl]amino]methyl]-1,1a,3,7b-tetrahydro-6-methoxy-3-methyl- (9CI)
(CA INDEX NAME)

10/690738

10/27/04



L5 ANSWER 5 OF 5 USPATFULL on STN

AB The present invention relates to a method of treating depression or anxiety in a mammal, including a human, by administering to the mammal a CNS-penetrant NK-1 receptor antagonist (e.g., a substance P receptor antagonist) in combination with a 5HT1D receptor antagonist. It also relates to pharmaceutical compositions containing a pharmaceutically acceptable carrier, a CNS-penetrant NK-1 receptor antagonist and a 5HT.sub.1D receptor antagonist.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AN 2002:92687 USPATFULL

TI Combination treatment for depression and anxiety

IN Sobolov-Jaynes, Susan Beth, Ivoryton, CT, UNITED STATES

Schmidt, Christopher Joseph, Old Lyme, CT, UNITED STATES

PI US 2002049211 A1 20020425

AI US 2001-867357 A1 20010529 (9)

PRAI US 2000-230257P 20000906 (60)

DT Utility

FS APPLICATION

LREP Paul H. Ginsburg, Pfizer Inc, 20th Floor, 235 East 42nd Street, New York, NY, 10017-5755

CLMN Number of Claims: 34

ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 4999

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

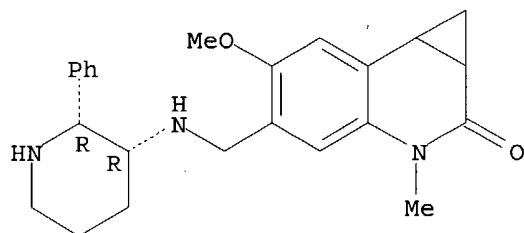
IT 368832-27-3

(combination, for treating depression and anxiety, containing a 5HT1D receptor antagonist and a CNS penetrant NK-1 receptor antagonist)

RN 368832-27-3 USPATFULL

CN 2H-Cyclopropa[c]quinolin-2-one, 1,1a,3,7b-tetrahydro-6-methoxy-3-methyl-5-[[[(2R,3R)-2-phenyl-3-piperidinyl]amino]methyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



10/690738

10/27/04

=>

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